Volume contents

Volume 5, Number 1, 1994

i *Editorial*

Drift and shift in the editorial strategy for *Antiviral Chemistry & Chemotherapy*
H. Field

1 **The relationship between conformation and biological activity of 8-substituted analogues of 2',5'-oligoadenylates**
K. Kanbara, K. Nagai, H. Nakashima, N. Yamamoto, R. J. Suhadolnik & H. Takaku

7 **Cross-resistance between AZT, ddI and other antiretroviral drugs in primary isolates of HIV-1**
S. W. Cox, K. Aperia, E. Sandström, V. Holmberg, L. Morfeldt-Månson, C. Broström, B. Wahren & J. Albert

13 ***In vitro* activity of acyclic nucleoside phosphonate derivatives against feline immunodeficiency virus in Crandell feline kidney cells and feline peripheral blood lymphocytes**
K. Hartmann, J. Balzarini, J. Higgins, E. De Clercq & N. C. Pedersen

21 **Synthesis and antiviral activity of 9-alkoxypurines: new 9-(hydroxyalkoxy) derivatives of guanine and 8-methylguanine**
S. Bailey, M. R. Harnden & C. T. Shanks

35 **Cell-based and biochemical analysis of the anti-HIV activity of combinations of 3'-azido-3'-deoxythymidine and analogues of TIBO**
R. W. Buckheit Jr, E. L. White, J. Germany-Decker, L. B. Allen, L. J. Ross, W. M. Shannon, P. A. J. Janssen & M. A. Chirigos

Short communications

43 **Antiviral properties of the HIV-1 proteinase inhibitor Ro31-8959**
S. Galpin, N. A. Roberts, T. O'Connor, D. J. Jeffries & D. Kinchington

47 **Antiviral activity of a Keggin polyoxotungstate PM-19 against herpes simplex virus in mice**
S. Ikeda, S. Nishiya, A. Yamamoto, T. Yamase, C. Nishimura & E. De Clercq

51 **Drug combination of AZT and ddI: synergism of action and prevention of appearance of AZT-resistance**
G. Antonelli, F. Dianzani, D. Bellarosa, O. Turriziani, E. Riva & A. Gentile

Volume 5, Number 2, 1994

57 **Inhibitory effects of acyclic nucleoside phosphonate analogues on hepatitis B virus DNA synthesis in HB611 cells**
T. Yokota, K. Konno, S. Shigeta, A. Holy, J. Balzarini & E. De Clercq

64 **Novel carbohydrate conjugates as potential prodrugs of acyclovir**
S. D. Chamberlain, A. R. Moorman, T. C. Burnette, P. de Miranda & T. A. Krenitsky

74 **5'-*O*-Alkyl and acyl prodrugs of 1-β-D-arabinofuranosyl-*E*-5-(2-bromovinyl)uracil**
F. Kano, K. Ijichi, N. Ashida, Y. Watanabe, S. Sakata & H. Machida

83 **The antiviral agent hypericin has *in vitro* activity against HSV-1 through non-specific association with viral and cellular membranes**
N. D. Weber, B. K. Murray, J. A. North & S. G. Wood

91 **Decomposition pathways of the mono- and bis(pivaloyloxymethyl) esters of azidothymidine 5'-monophosphate in cell extract and in tissue culture medium: an application of the 'on-line ISRP-cleaning' HPLC technique**
A. Pompon, I. Lefebvre, J.-L. Imbach, S. Kahn & D. Farquhar

99 **Orobol: an inhibitor of vesicular stomatitis virus that blocks the synthesis of viral nucleic acids and the glycosylation of G protein**
M. J. Almela, A. Irurzun & L. Carrasco

105 **Purification and partial characterization of an antiviral active peptide from *Melia azedarach* L.**
G. Andrei, A. S. Couto, R. M. de Lederkremer & C. E. Coto

111 **An assay for HIV RNA in infected cell lysates, and is use for the rapid evaluation of antiviral efficacy**
L. T. Bacheler, M. Paul, M. J. Otto, P. K. Jadhav, B. A. Stone & J. A. Miller

122 **Selective inhibition of myxovirus replication by a novel series of cholesterol-naphthalenesulfonic acid hybrid molecules**
S. Ikeda, M. F. Wong, P. Mohan & E. De Clercq

Short communication

128 **Discovery of a drug lead employing a peptide library: inhibition of HIV-1 tat and viral replication by the tripeptide YPG-NH_2**
D. L. Coffen, T.-N. Huang, S. E. Ramer, R. C. West, E. V. Connell, A. D. Schutt & M.-C. Hsu

Volume 5, Number 3, 1994

Review

131 **5-Substituted-2'-deoxyuridines as anti-HSV-1 agents: synthesis and structure activity relationship**
P. A. M. M. Herdewijn

147 **Synthesis, oral bioavailability and *in vitro* activity of acetal derivatives of the selective antiherpesvirus agent 9-(3-hydroxypropoxy) guanine (BRL 44385)**
M. R. Harnden, R. J. Ashton, M. R. Boyd, L. J. Jennings, D. Sutton & P. G. Wyatt

155 **Modified cyclodextrin sulphates (mCDS11) have potent inhibitory activity against HIV and high oral bioavailability**
T. Otake, D. Schols, M. Witvrouw, L. Naesens, H. Nakashima, T. Moriya, H. Kurita, K. Matsumoto, N. Ueba & E. De Clercq

162 **Haloalkyl phosphate derivatives of AZT as inhibitors of HIV: studies in the phosphate region**
C. McGuigan, S. Turner, S. R. Nicholls, T. J. O'Connor & D. Kinchington

169 **Inhibition of the cellular Rev response and HIV-1 replication by 8-Alkyl-2-(4-pyridyl)pyrido[2,3-*d*] pyrimidin-5(8*H*)-ones**
R. B. Ciccarelli, L. A. Winter, R. Lorenz, A. L. Harris, A. C. Crawford, T. R. Bailey, B. Singh, M.-L. Hammarskjöld, D. Rekosh & J. V. Hughes

176 **Sodium deoxycholate exerts a direct destructive effect on HIV and influenza viruses *in vitro* and inhibits retrovirus-induced pathology in an animal model**
J. S. Oxford, M. A. Zuckerman, E. Race, R. Dourmashkin, K. Broadhurst & P. M. Sutton

Short communications

182 **Effect of inhibitors of protein myristoylation on varicella-zoster virus replication**
R. L. Gilbert, C. J. Blunt, D. R. Harper, D. J. Jeffries & R. A. J. McIlhinney

187 **Inhibition of influenza virus A/WSN replication by serine protease inhibitors and anti-protease antibodies**
A. Someya, N. Tanaka & A. Okuyama

191 **Inhibition of thymidylate synthetase activity induced in varicella-zoster virus infected cells by (*E*)-5-(2-bromovinyl)-2'-deoxyuridine**
T. Yokota, K. Konno & S. Shigeta

195 **Multi-branched peptide constructs (MBPC) of the V3 loop of envelope glycoprotein gp120 inhibit human immunodeficiency virus-induced syncytium formation**
A. Benjouad, E. Fenouillet, J.-C. Gluckman & J. M. Sabatier

197 **Synthesis and structure activity relationships of a series of penicillin-derived pseudo-symmetric inhibitors of HIV-1 proteinase**
D. C. Humber, M. J. Bamford, R. C. Bethell, N. Cammack, D. C. Orr, R. Storer, G. G. Weingarten & P. G. Wyatt

Volume 5, Number 4, 1994

201 **Inhibitors of bovine herpes mammillitis virus infections in cultured cells and in vaginally infected guinea pigs**
D. F. Smee, J. A. Leonhardt, S. T. Sugiyama & A. Holy

209 ***In vitro* and *in vivo* antiviral (RNA) evaluation of orotidine 5'-monophosphate decarboxylase inhibitors and analogues including 6-azauridine-5'-(ethyl methoxyalaninyl) phosphate (a 5'-monophosphate prodrug)**
B. Gabrielsen, J. J. Kirsi, C. D. Kwong, D. A. Carter, C. A. Krauth, L. K. Hanna, J. W. Huggins, T. P. Monath, D. F. Kefauver, H. A. Blough, J. T. Rankin, C. M. Bartz, J. H. Huffman, D. F. Smee, R. W. Sidwell, W. M. Shannon & J. A. Secrist III

221 **Synthesis of 2',3',5'-trideoxyuridine-5'-methylphosphonic acid and its diphosphate derivative. Study of the interaction with HIV-1 reverse transcriptase**
S. Benzaria, G. Maury, G. Gosselin, K. Rittinger, G. Divita, R. S. Goody & J.-L. Imbach

229 **Inhibition of feline immunodeficiency virus production and HIV tat activity by thiosemicarbazone derivatives**
Y. Teitz, N. Barko, M. Torten & D. Ronen

236 **Long-term treatment of HIV-infected MT-4 cells in culture with HIV proteinase inhibitor Ro 31-8959 leads to complete cure of infection**
H. Nitschko, H. Lindhofer, H. Schätzl, J. Eberle, G. Deby, B. Kranz & K. von der Helm

243 **Synthesis and biological evaluation of new 5,6-dichlorobenzimidazole nucleoside derivatives**
G. Gosselin, C. Périgaud, M.-C. Bergogne, J. Balzarini, E. De Clercq & J.-L. Imbach

257 **Studies on orally available inhibitors of HIV protease. Peptidyl aldehydes and trifluoromethyl ketones**
C. N. Hodge, P. E. Aldrich, C. H. Fernandez, M. J. Otto, M. M. Rayner, Y. N. Wong & S. Erickson-Viitanen

263 **Human brain tumour cell lines as cell substrate to demonstrate sensitivity/resistance of herpes simplex virus types 1 and 2 to nucleoside analogues**
G. Andrei, R. Snoeck & E. De Clercq

271 **Alkyl hydrogen phosphonate derivatives of the anti-HIV agent AZT may be less toxic than the parent nucleoside analogue**
C. McGuigan, P. Bellevergue, B. C. N. M. Jones, N. Mahmood, A. J. Hay, J. Petrik & A. Karpas

Short communication

278 **Characterization of a mutant HIV-1 reverse transcriptase resistant to (+)-(5S)-4,5,6,7-tetrahydro-5-methyl-6-(3-methyl-2-butenyl)-imidazol[4,5,1-*jk*] [1,4]benzodiazepin-2(1*H*)-thione (TIBO R82150)**
H. Samanta, R. Rose, A. K. Patick, C. M. Bechtold, J. Trimble, H. Li, A. Lee, R. J. Colonno & P. F. Lin

Volume 5, Number 5, 1994

283 **Antiherpesvirus activity of the combination of 5-iodo-2'-deoxycytidine with methotrexate: an *in vitro* and *in vivo* study**
C. Cremonesi, C. Scarpini, R. Bianchi, A. Radaelli, M. Gimelli & C. De Giuli Morghen

290 **The effect of acyclovir on the acute and latent murine gammaherpesvirus-68 infection of mice**
N. P. Sunil-Chandra, S. Efstathiou & A. A. Nash

297 **Activity of a sulfated polysaccharide extracted from the red seaweed *Aghardhiella tenera* against human immunodeficiency virus and other enveloped viruses**
M. Witvrouw, J. A. Este, M. Q. Mateu, D. Reymen, G. Andrei, R. Snoeck, S. Ikeda, R. Pauwels, N. V. Bianchini, J. Desmyter & E. De Clercq

304 **Brain targeting of anti-HIV nucleosides: *in vitro* and *in vivo* evaluation of 6-chloro-2',3'-dideoxypurine, a lipophilic prodrug of 2',3'-dideoxyinosine**
K. J. Doshi, F. D. Boudinot, J. M. Gallo, R. F. Schinazi & C. K. Chu

312 **Dipyridamole potentiates the activity of various acyclic nucleoside phosphonates against varicella-zoster virus, herpes simplex virus and human cytomegalovirus**
R. Snoeck, G. Andrei, J. Balzarini, D. Reymen & E. De Clercq

322 **Tin protoporphyrin IX used in control of heme metabolism in humans effectively inhibits HIV-1 infection**
A. R. Neurath, N. Strick, K. Lin, A. K. Debnath & S. Jiang

331 **[Melle^4]Cyclosporin, a novel natural cyclosporin with anti-HIV activity: structural elucidation, biosynthesis and biological properties**
R. Traber, H. Kobel. H.-R. Loosli, H. Senn, B. Rosenwirth & A. Lawen

Short communication

340 **Inhibition of respiratory syncytial virus replication *in vitro* by a pyrazole dicarboxamide analogue of ribavirin**
J. M. Woods, C. L. P. Marr & C. R. Penn

Volume 5, Number 6, 1994

Review

345 **Antiviral portrait series: 4. Polysulfates as inhibitors of HIV and other enveloped viruses**
M. Witvrouw, J. Desmyter & E. De Clercq

360 **Comparative anti-retrovirus and anti-hepadnavirus activity of three different classes of nucleoside phosphonate derivatives**
J. Balzarini, J. Kruining, R. Heijtink & E. De Clercq

366 **Antiviral activities of mizoribine and other inosine monophosphate dehydrogenase inhibitors against several ortho- and paramyxoviruses**
Y. Kosugi, Y. Saito, S. Mori, J. Watanabe, M. Baba & S. Shigeta

372 **Fluorinated analogues of the p17/p24 sequence incorporating 3-fluoro and 3,3-difluoro phenylalanines as potential inhibitors of HIV protease**
P.R.T. Frogier, T. T. Tran, S. Viani, R. Condom & R. Guedj

380 ***In vitro* anti-HIV and cytotoxicological evaluation of the triple combination: AZT and ddC with HIV proteinase inhibitor saquinavir (Ro 31-8959)**
J. C. Craig, L. Whittaker, I. B. Duncan & N. A. Roberts

387 **Synthesis, and some properties of, amphiphilic dinucleoside phosphate derivatives of 3'-azido-2',3'-dideoxythymidine (AZT)**
H. Schott, M. P. Häussler, P. Gowland, D. H. Horber & R. A. Schwendener

395 **Thienyl-substituted nucleosides and their triphosphates**
T. Persson, A.-B. Hörnfeldt, S. Gronowitz & N. G. Johansson

403 **Intracellular metabolism of 3'-azido-3'-deoxythymidine and 2',3'-dideoxyinosine in combination in the absence and presence of ribavirin**
S. Palmer & S. Cox

Short communication

410 **Relationship of the molecular size and charge density of polyoxometalates to their anti-gp120-CD4-binding activity**
D. A. Judd, R. F. Schinazi & C. L. Hill

Indexes

415 **Subject Index**

417 **Author Index**

419 **Referees**